

USSN: 09/736,858

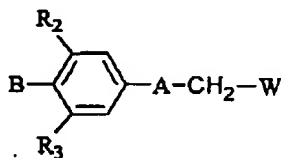
Ref. No. 030116 (formerly 6295.N)

**Amendments to the Claims:**

This listing of claims will replace all prior versions, and listings, of claims in the application:

**Listing of Claims:**

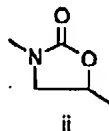
1. (Previously Presented) A compound of formula I



I

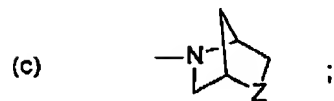
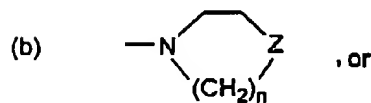
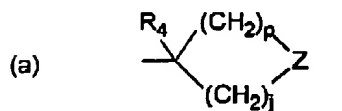
or a pharmaceutically acceptable salt thereof wherein:

A is a structure ii,



ii

B is



W is NHC(=X)R<sub>1</sub>, or -Y-het; X is O, or S; provided that when X is O, B is not the subsection (b);

Y is NH, O, or S;

Z is S(=O)(=N-R<sub>5</sub>);

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 $R_1$  is

- (a) H,
- (b)  $\text{NH}_2$ ,
- (c)  $\text{NHC}_{1-4}\text{alkyl}$ ,
- (d)  $\text{C}_{1-4}\text{alkyl}$ ,
- (e)  $\text{C}_{2-4}\text{alkenyl}$ ,
- (f)  $\text{OC}_{1-4}\text{alkyl}$ ,
- (g)  $\text{SC}_{1-4}\text{alkyl}$ , or
- (h)  $(\text{CH}_2)_p \text{C}_{3-6}\text{cycloalkyl}$ ;

at each occurrence, alkyl or cycloalkyl in  $R_1$  is optionally substituted with one or more F, Cl or CN;

$R_2$  and  $R_3$  are independently H, F, Cl, methyl or ethyl;

$R_4$  is H,  $\text{CH}_3$ , or F;

 $R_5$  is

- (c)  $\text{C}(=\text{O})\text{C}_{1-4}\text{alkyl}$ ,
- (d)  $\text{C}(=\text{O})\text{OC}_{1-4}\text{alkyl}$ ,
- (e)  $\text{C}(=\text{O})\text{NHR}_6$ , or
- (f)  $\text{C}(=\text{S})\text{NHR}_6$ ;

$R_6$  is H,  $\text{C}_{1-4}\text{alkyl}$ , or phenyl;

at each occurrence, alkyl in  $R_5$  and  $R_6$  is optionally substituted with one or more halo, CN,  $\text{NO}_2$ , phenyl,  $\text{C}_{3-6}\text{cycloalkyl}$ ,  $\text{OR}_7$ ,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{OC}(=\text{O})\text{R}_7$ ,  $\text{C}(=\text{O})\text{OR}_7$ ,  $\text{S}(=\text{O})_m\text{R}_7$ ,  $\text{S}(=\text{O})_m\text{NR}_7\text{R}_7$ ,  $\text{NR}_7\text{SO}_2\text{R}_7$ ,  $\text{NR}_7\text{SO}_2\text{NR}_7\text{R}_7$ ,  $\text{NR}_7\text{C}(=\text{O})\text{R}_7$ ,  $\text{C}(=\text{O})\text{NR}_7\text{R}_7$ ,  $\text{NR}_7\text{R}_7$ , oxo, or oxime;

$R_7$  is H,  $\text{C}_{1-4}\text{alkyl}$ , or phenyl;

at each occurrence, phenyl is optionally substituted with one or more halo, CN,  $\text{NO}_2$ , phenyl,  $\text{C}_{3-6}\text{cycloalkyl}$ ,  $\text{OR}_7$ ,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{OC}(=\text{O})\text{R}_7$ ,  $\text{C}(=\text{O})\text{OR}_7$ ,  $\text{S}(=\text{O})_m\text{R}_7$ ,  $\text{S}(=\text{O})_m\text{NR}_7\text{R}_7$ ,  $\text{NR}_7\text{SO}_2\text{R}_7$ ,  $\text{NR}_7\text{SO}_2\text{NR}_7\text{R}_7$ ,  $\text{NR}_7\text{C}(=\text{O})\text{R}_7$ ,  $\text{C}(=\text{O})\text{NR}_7\text{R}_7$ , or  $\text{NR}_7\text{R}_7$ ; when  $R_5$  is  $\text{C}_{1-4}\text{alkyl}$  substituted with phenyl, the phenyl is additionally optionally substituted with  $\text{CF}_3$  and  $\text{CH}_3$ ;

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Ref. No. 030116 (formerly 6295.N)

het is a C-linked five- (5) membered heteroaryl ring having 1-4 heteroatoms selected from the group consisting of oxygen, sulfur, and nitrogen, or het is a C-linked six (6) membered heteroaryl ring having 1-3 nitrogen atoms;

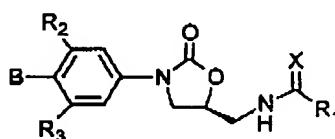
p is 0, 1, or 2;

j is 1, 2, 3, 4, or 5; provided that j and p taken together are 2, 3, 4 or 5;

m is 0, 1, or 2; and

n is 2 or 3.

2. (Previously Presented) A compound of claim 1 having the formula IA:



IA.

3. (Original) A compound of claim 2 wherein R<sub>1</sub> is C<sub>1-4</sub>alkyl.

4. (Original) A compound of claim 2 wherein R<sub>1</sub> is ethyl.

5. (Original) A compound of claim 2 wherein R<sub>1</sub> is methyl.

6. (Original) A compound of claim 2 wherein R<sub>1</sub> is C<sub>3-6</sub>cycloalkyl.

7. (Original) A compound of claim 2 wherein R<sub>1</sub> is cyclopropyl.

8. (Previously Presented) A compound of claim 2, 3, 4, 5, 6, or 7 wherein X is a sulfur atom.

9. (Previously Presented) A compound of claim 2, 3, 4, 5, 6, or 7 wherein X is an oxygen atom.

10. (Original) A compound of claim 8 wherein one of R<sub>2</sub> and R<sub>3</sub> is H, the other one is F.

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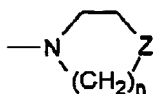
Ref. No. 030116 (formerly 6295.N)

11. (Original) A compound of claim 9 wherein one of  $R_2$  and  $R_3$  is H, the other one is F.

12. (Original) A compound of claim 8 wherein  $R_4$  is H.

13. (Original) A compound of claim 9 wherein  $R_4$  is H.

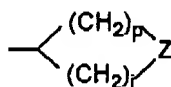
14. (Original) A compound of claim 8 wherein structure B is



wherein Z is  $S(=O)(=NR_5)$ .

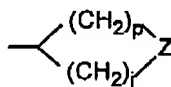
15. (Canceled).

16. (previously amended) A compound of claim 8 wherein structure B is



wherein Z is  $S(=O)(=NR_5)$ .

17. (Original) A compound of claim 9 wherein structure B is



wherein Z is  $S(=O)(=NR_5)$ .

18-21. (Canceled).

22. (Original) A compound of claim 14 wherein  $R_5$  is  $C(=O)C_{1-4}alkyl$ ,  $C(=O)OC_{1-4}alkyl$ ,  $C(=O)NH_2$ , or  $C(=O)NHC_{1-4}alkyl$ .

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23. (Original) A compound of claim 22 wherein  $R_5$  is  $C(=O)NHCH_3$ , or  $C(=O)NHCH_2CH_3$ .
24. (Original) A compound of claim 14 wherein  $R_5$  is  $C(=O)CH_3$ .
25. (Original) A compound of claim 14 wherein  $R_5$  is  $C(=O)OCH_3$ .
- 26-29. (Canceled).
30. (Original) A method for treating microbial infections comprising: administering to a mammal in need thereof an effective amount of a compound of formula I as shown in claim 1.
31. (Original) The method of claim 30 wherein said compound of formula I is administered orally, parenterally, transdermally, or topically in a pharmaceutical composition.
32. (Original) The method of claim 30 wherein said compound is administered in an amount of from about 0.1 to about 100 mg/kg of body weight/day.
33. (Original) The method of claim 30 wherein said compound is administered in an amount of from about 1 to about 50 mg/kg of body weight/day.
34. (Original) A method for treating microbial infections of claim 30 wherein the infection is skin infection.
35. (Original) A method for treating microbial infections of claim 30 wherein the infection is eye infection.

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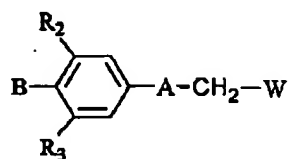
Ref. No. 030116 (formerly 6295.N)

36. (Original) A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.
37. (Canceled).
38. (Original) A compound of claim 16 wherein  $R_5$  is  $C(=O)C_{1-4}alkyl$ ,  $C(=O)OC_{1-4}alkyl$ ,  $C(=O)NH_2$ , or  $C(=O)NHC_{1-4}alkyl$ .
39. (Original) A compound of claim 38 wherein  $R_5$  is  $C(=O)NHCH_3$ , or  $C(=O)NHCH_2CH_3$ .
40. (Original) A compound of claim 16 wherein  $R_5$  is  $C(=O)CH_3$ .
41. (Original) A compound of claim 16 wherein  $R_5$  is  $C(=O)OCH_3$ .
42. (Original) A compound of claim 17 wherein  $R_5$  is  $C(=O)C_{1-4}alkyl$ ,  $C(=O)OC_{1-4}alkyl$ ,  $C(=O)NH_2$ , or  $C(=O)NHC_{1-4}alkyl$ .
43. (Original) A compound of claim 42 wherein  $R_5$  is  $C(=O)NHCH_3$ , or  $C(=O)NHCH_2CH_3$ .
44. (Original) A compound of claim 17 wherein  $R_5$  is  $C(=O)CH_3$ .
45. (Original) A compound of claim 17 wherein  $R_5$  is  $C(=O)OCH_3$ .
46. (Currently Amended) A compound of claim 2 which is  
N-(((5S)-3-{3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1 $\lambda^4$ , 4-thiazinan-4-yl)phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl]propanethioamide; or  
N-(((5S)-3-{3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1 $\lambda^4$ , 4-thiazinan-4-yl)phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide- $\gamma$ .

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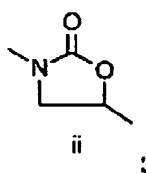
47. (Previously Presented) A compound of formula II



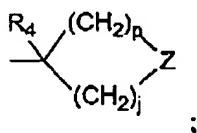
II

or a pharmaceutically acceptable salt thereof wherein:

A is a structure ii

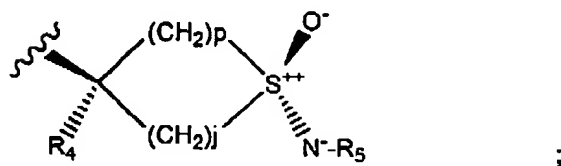


B is

W is  $\text{NHC}(=\text{X})\text{R}_1$ , or -Y-het;

X is O, or S;

Y is NH, O, or S;

Z is  $\text{S}(=\text{O})(=\text{N}-\text{R}_5)$  and the B ring has the following stereochemistry $\text{R}_1$  is(a)  $\text{H}$ ,

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- (b)  $\text{NH}_2$ ,
- (c)  $\text{NHC}_{1-4}\text{alkyl}$ ,
- (d)  $\text{C}_{1-4}\text{alkyl}$ ,
- (e)  $\text{C}_{2-4}\text{alkenyl}$ ,
- (f)  $\text{OC}_{1-4}\text{alkyl}$ ,
- (g)  $\text{SC}_{1-4}\text{alkyl}$ , or
- (h)  $(\text{CH}_2)_p \text{C}_{3-6}\text{cycloalkyl}$ ;

at each occurrence, alkyl or cycloalkyl in  $\text{R}_1$  is optionally substituted with one or more F, Cl or CN;

$\text{R}_2$  and  $\text{R}_3$  are independently H, F, Cl, methyl or ethyl;

$\text{R}_4$  is H,  $\text{CH}_3$ , or F;

$\text{R}_5$  is

- (a) H,
- (b)  $\text{C}_{1-4}\text{alkyl}$ ,
- (c)  $\text{C}(=\text{O})\text{C}_{1-4}\text{alkyl}$ ,
- (d)  $\text{C}(=\text{O})\text{OC}_{1-4}\text{alkyl}$ ,
- (e)  $\text{C}(=\text{O})\text{NHR}_6$ , or
- (f)  $\text{C}(=\text{S})\text{NHR}_6$ ;

$\text{R}_6$  is H,  $\text{C}_{1-4}\text{alkyl}$ , or phenyl;

at each occurrence, alkyl in  $\text{R}_5$  and  $\text{R}_6$  is optionally substituted with one or more halo, CN,  $\text{NO}_2$ , phenyl,  $\text{C}_{3-6}$  cycloalkyl,  $\text{OR}_7$ ,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{OC}(=\text{O})\text{R}_7$ ,  $\text{C}(=\text{O})\text{OR}_7$ ,  $\text{S}(=\text{O})_m\text{R}_7$ ,  $\text{S}(=\text{O})_m\text{NR}_7\text{R}_7$ ,  $\text{NR}_7\text{SO}_2\text{R}_7$ ,  $\text{NR}_7\text{SO}_2\text{NR}_7\text{R}_7$ ,  $\text{NR}_7\text{C}(=\text{O})\text{R}_7$ ,  $\text{C}(=\text{O})\text{NR}_7\text{R}_7$ ,  $\text{NR}_7\text{R}_7$ , oxo, or oxime;

$\text{R}_7$  is H,  $\text{C}_{1-4}\text{alkyl}$ , or phenyl;

at each occurrence, phenyl is optionally substituted with one or more halo, CN,  $\text{NO}_2$ , phenyl,  $\text{C}_{3-6}$  cycloalkyl,  $\text{OR}_7$ ,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{OC}(=\text{O})\text{R}_7$ ,  $\text{C}(=\text{O})\text{OR}_7$ ,  $\text{S}(=\text{O})_m\text{R}_7$ ,  $\text{S}(=\text{O})_m\text{NR}_7\text{R}_7$ ,  $\text{NR}_7\text{SO}_2\text{R}_7$ ,  $\text{NR}_7\text{SO}_2\text{NR}_7\text{R}_7$ ,  $\text{NR}_7\text{C}(=\text{O})\text{R}_7$ ,  $\text{C}(=\text{O})\text{NR}_7\text{R}_7$ , or  $\text{NR}_7\text{R}_7$ ; when  $\text{R}_5$  is  $\text{C}_{1-4}\text{alkyl}$  substituted with phenyl, the phenyl is additionally optionally substituted with  $\text{CF}_3$  and  $\text{CH}_3$ ;



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het is a C-linked five- (5) membered heteroaryl ring having 1-4 heteroatoms selected from the group consisting of oxygen, sulfur, and nitrogen, or het is a C-linked six (6) membered heteroaryl ring having 1-3 nitrogen atoms;

p is 0, 1, or 2;

j is 1, 2, 3, 4, or 5; provided that j and p taken together are 2, 3, 4 or 5;

m is 0, 1, or 2.

48. (Previously Presented) The compound of claim 47 wherein  $R_1$  is  $C_{1-4}$ alkyl.
49. (Previously Presented) The compound of claim 47 wherein  $R_1$  is ethyl.
50. (Previously Presented) The compound of claim 47 wherein  $R_1$  is methyl.
51. (Previously Presented) The compound of claim 47 wherein  $R_1$  is  $C_{3-6}$ cycloalkyl.
52. (Previously Presented) The compound of claim 47 wherein  $R_1$  is cyclopropyl.
53. (Previously Presented) The compound of claim 47 wherein X is a sulfur atom.
54. (Previously Presented) The compound of claim 47 wherein X is an oxygen atom.
55. (Previously Presented) The compound of claim 53 wherein one of  $R_2$  and  $R_3$  is H, the other one is F.
56. (Previously Presented) The compound of claim 54 wherein one of  $R_2$  and  $R_3$  is H, the other one is F.
57. (Previously Presented) The compound of claim 47 wherein  $R_5$  is H.
58. (Previously Presented) The compound of claim 47 wherein  $R_5$  is  $C_{1-4}$ alkyl, optionally substituted with OH; or  $C_{1-4}$ alkyl substituted with  $C(=O)NHC_{1-4}$ alkyl,

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C(=O)NH<sub>2</sub> or phenyl; wherein the phenyl is optionally substituted with OH, methyl, NO<sub>2</sub>, CF<sub>3</sub>, or CN.

59. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is CH<sub>3</sub>, or ethyl.

60. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is C<sub>1-4</sub>alkyl substituted with phenyl wherein the phenyl is optionally substituted with NO<sub>2</sub>.

61. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is C(=O)C<sub>1-4</sub>alkyl, C(=O)OC<sub>1-4</sub>alkyl, C(=O)NH<sub>2</sub>, or C(=O)NHC<sub>1-4</sub>alkyl.

62. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is C(=O)NHCH<sub>3</sub>, or C(=O)NHCH<sub>2</sub>CH<sub>3</sub>.

63. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is C(=O)CH<sub>3</sub>.

64. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is C(=O)OCH<sub>3</sub>.

65. (Previously Presented) A compound of claim 47 which is

N-({(5*S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide (Z)-isomer;

N-({(5*S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide (Z)-isomer;

N-({(5*S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide (Z)-isomer;

N-({(5*S*)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanethioamide (Z)-isomer;

N-({(5*S*)-3-[3-fluoro-4-[1-(acetylimino)-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide, Z-isomer;

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N-((5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-(acetyl-imino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-(ethylimino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-[(phenylmethyl)imino]-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-[(3-phenylpropyl)imino]-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-(1-[(methylamino)carbonyl]imino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl)-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl)-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-(1-[(ethoxycarbonyl)methyl]imino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl)-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-(1-[(4-nitrophenyl)amino]carbonyl]imino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl)-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer ;

N-((5S)-3-[3-fluoro-4-[1-[(aminocarbonyl)imino]-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

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N-((5S)-3-[3-fluoro-4-[1-[(aminocarbonyl)methyl]imino]-1-oxido-1,3-oxazolidin-5-yl)methyl]propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-[(2-hydroxyethyl)imino]-1-oxido-1,3-oxazolidin-5-yl)methyl]propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,3-oxazolidin-5-yl)methyl]cyclopropanecarbothioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-[(methoxycarbonyl)imino]-1-oxido-1,3-oxazolidin-5-yl)methyl]cyclopropanecarbothioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-[(phenylmethoxy)carbonyl]imino]-1-oxido-1,3-oxazolidin-5-yl)methyl]acetamide, Z-isomer; or

N-((5S)-3-[3-fluoro-4-[1-[(benzylamino)carbonyl]imino]-1-oxido-1,3-oxazolidin-5-yl)methyl]acetamide, Z-isomer.

66. (Previously Presented) A method for treating microbial infections comprising: administering to a mammal in need thereof an effective amount of a compound of formula II as shown in claim 47.

67. (Previously Presented) A compound selected from the group consisting of N-((5S)-3-[3-fluoro-4-[1-[(ethoxycarbonyl)methyl]imino]-1-oxido-1,3-oxazolidin-5-yl)methyl]propanethioamide, Z-isomer; N-((5S)-3-[3-fluoro-4-[1-[(aminocarbonyl)methyl]imino]-1-oxido-1,3-oxazolidin-5-yl)methyl]propanethioamide, Z-

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isomer.